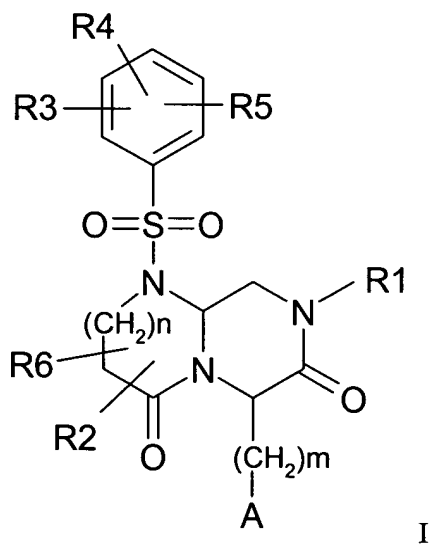


We claim:

DEAV 2003/0072

1. A compound of the formula I:

5



wherein

10 A is a 3-, 4-, 5-, 6-, 7-, 8-, 9-, 10-, 11-, and 12-membered mono-, bi- or spirobicyclic ring containing one or more heteroatoms selected from the group of N, O and S, and is optionally substituted with F, Cl, Br, NO₂, CF₃, OCF₃, CN, (C₁-C₆)-alkyl, aryl, CON(R11)(R12), N(R13)(R14), OH, O-(C₁-C₆)-alkyl, S-(C₁-C₆)-alkyl, N(R15)CO(C₁-C₆)-alkyl or COO-(C₁-C₆)-alkyl;

15

R11, R12, R13, R14, R15 are each independently H, (C₁-C₆)-alkyl or a heterocycle;

n is 0 or 1;

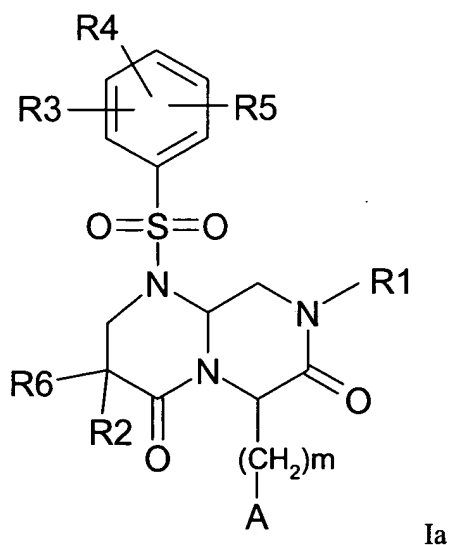
20 m is 0, 1, 2, 3, 4, 5 or 6;

- R1 is R8, (C₁-C₆)-alkylene-R8, (C₂-C₆)-alkenylene-R9, (SO₂)-R8, (SO₂)-(C₁-C₆)-alkylene-R8, (SO₂)-(C₂-C₆)-alkenylene-R9, (C=O)-R8, (C=O)-(C₁-C₆)-alkylene-R8, (C=O)NH-R8, (C=O)-(C₂-C₆)-alkenylene-R9, (C=O)-NH-
 5 (C₁-C₆)-alkylene-R8, (C=O)-NH- (C₂-C₆)-alkenylene-R9, COO-R8, COO-(C₁-C₆)-alkylene-R8, COO-(C₂-C₆)-alkenylene-R9, alkynylene-R9 or (C₁-C₄-alkyl)-heterocycle, wherein the alkylene component of said (C₁-C₆)-alkylene-R8, (C₂-C₆)-alkenylene-R9, (SO₂)-(C₁-C₆)-alkylene-R8, (SO₂)-(C₂-C₆)-alkenylene-R9, (C=O)-(C₁-C₆)-alkylene-R8, (C=O)-(C₂-C₆)-alkenylene-R9, (C=O)-NH-(C₁-C₆)-alkylene-R8, (C=O)-NH- (C₂-C₆)-alkenylene-R9, COO-(C₁-C₆)-alkylene-R8, COO-(C₂-C₆)-alkenylene-R9 and alkynylene-R9 groups is optionally substituted by F;
- 10 R8, R9 are each independently H, F, Cl, Br, I, OH, CF₃, aryl, heterocycle or (C₃-C₈)-cycloalkyl, wherein said aryl, heterocycle and (C₃-C₈)-cycloalkyl groups are optionally mono-, di- or tri-substituted by F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, NH₂, CON(R11)(R12), N(R13)(R14), SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CONH₂;
- 20 R2 is NH₂, NO₂, N(R13)(R14), NH-SO₂-CH₃, NH-SO₂-R12, NR11-SO₂-R12, N(CO)R11, NHCONR11, N(C₁-C₆-alkyl)N⁺(C₁-C₄-alkyl)₃ or a nitrogen-containing heterocycle, wherein said heterocycle is bonded via a nitrogen atom;
- 25 R3, R4, R5 are each independently H, F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, O-(C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, S-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₈)-cycloalkyl, O-(C₃-C₈)-cycloalkyl; (C₃-C₈)-cycloalkenyl, O-(C₃-C₈)-cycloalkenyl, (C₂-C₆)-alkynyl, aryl, O-aryl (C₁-C₈)-alkylene-aryl, O-(C₁-C₈)-alkylene-aryl, S-aryl, N((C₁-C₆)-alkyl)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CO-N((C₁-C₆)-alkyl)₂;
- 30

R6 is H, F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, O-(C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, S-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₈)-cycloalkyl, O-(C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkenyl, O-(C₃-C₈)-cycloalkenyl, (C₂-C₆)-alkynyl, (C₀-C₈)-alkylene-aryl, O-(C₀-C₈)-alkylene-aryl, S-aryl, N((C₁-C₆)-alkyl)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CO-N((C₁-C₆)-alkyl)₂;

and pharmaceutically acceptable salts thereof.

2. The compound of Claim 1 having the following structure Ia



wherein

A is a 3-, 4-, 5-, 6-, 7-, 8-, 9-, 10-, 11-, and 12-membered mono-, bi- or spirobicyclic ring containing one or more heteroatoms selected from the group of N, O and S, and is optionally substituted with F, Cl, Br, NO₂, CF₃, OCF₃, CN, (C₁-C₆)-alkyl, aryl, CON(R₁₁)(R₁₂), N(R₁₃)(R₁₄), OH, O-(C₁-C₆)-alkyl, S-(C₁-C₆)-alkyl, N(R₁₅)CO(C₁-C₆)-alkyl or COO-(C₁-C₆)-alkyl;

R₁₁, R₁₂, R₁₃, R₁₄, R₁₅ are each independently H, (C₁-C₆)-alkyl or a heterocycle;

- m is 0, 1, 2, 3, 4, 5 or 6;
- 5 R1 is R8, (C₁-C₆)-alkylene-R8, (C₂-C₆)-alkenylene-R9, (SO₂)-R8, (SO₂)-(C₁-C₆)-alkylene-R8, (SO₂)-(C₂-C₆)-alkenylene-R9, (C=O)-R8, (C=O)-(C₁-C₆)-alkylene-R8, (C=O)NH-R8, (C=O)-(C₂-C₆)-alkenylene-R9, (C=O)-NH-(C₁-C₆)-alkylene-R8, (C=O)-NH-(C₂-C₆)-alkenylene-R9, COO-R8, COO-(C₁-C₆)-alkylene-R8, COO-(C₂-C₆)-alkenylene-R9, alkynylene-R9 or (C₁-C₄-alkyl)-heterocycle;
- 10 R8, R9 are each independently H, F, Cl, Br, I, OH, CF₃, aryl, heterocycle or (C₃-C₈)-cycloalkyl, wherein said aryl, heterocycle and (C₃-C₈)-cycloalkyl groups are optionally mono-, di- or tri-substituted by F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, NH₂, CON(R11)(R12), N(R13)(R14),
- 15 SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CONH₂;
- R2 is NH₂, NO₂, N(R13)(R14), NH-SO₂-CH₃, NH-SO₂-R12, NR11-SO₂-R12, N(CO)R11, NHCONR11, N(C₁-C₆-alkyl)N⁺(C₁-C₄-alkyl)₃ or a nitrogen-containing heterocycle, wherein said heterocycle is bonded via a nitrogen
- 20 atom;
- R3, R4, R5 are each independently H, F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, O-(C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, S-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl, (C₃-C₈)-cycloalkyl, O-(C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkenyl,
- 25 O-(C₃-C₈)-cycloalkenyl, (C₂-C₆)-alkynyl, aryl, O-aryl (C₁-C₈)-alkylene-aryl, O-(C₁-C₈)-alkylene-aryl, S-aryl, N((C₁-C₆)-alkyl)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CO-N((C₁-C₆)-alkyl)₂;
- R6 is H, F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, O-(C₁-C₄)-alkoxy-(C₁-C₄)-alkyl, S-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, (C₂-C₆)-alkenyl,
- 30 (C₃-C₈)-cycloalkyl, O-(C₃-C₈)-cycloalkyl, (C₃-C₈)-cycloalkenyl, O-(C₃-C₈)-cycloalkenyl, (C₂-C₆)-alkynyl, aryl, O-aryl, (C₁-C₈)-alkylene-aryl, O-(C₁-

C₈)-alkylene-aryl, S-aryl, N((C₁-C₆)-alkyl)₂, SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CO-N((C₁-C₆)-alkyl)₂;

and pharmaceutically acceptable salts thereof.

5

3. The compound of Claim 2 wherein

A is aryl wherein said aryl is optionally substituted by F, Cl, Br, NO₂, CF₃, OCF₃, CN, (C₁-C₆)-alkyl, aryl, CON(R11)(R12), N(R13)(R14), OH, O-(C₁-C₆)-alkyl, S-(C₁-C₆)-alkyl, N(R15)CO(C₁-C₆)-alkyl or COO-(C₁-C₆)-alkyl;

10

R11, R12, R13, R14, R15 are each independently H, (C₁-C₆)-alkyl or heterocycle;

m is 1;

15

R1 is R8, (C₁-C₆)-alkylene-R8, (C₂-C₆)-alkenylene-R9, (SO₂)-R8, (SO₂)-(C₁-C₆)-alkylene-R8, (SO₂)-(C₂-C₆)-alkenylene-R9, (C=O)-R8, (C=O)-(C₁-C₆)-alkylene-R8, (C=O)NH-R8, (C=O)-(C₂-C₆)-alkenylene-R9, (C=O)-NH-(C₁-C₆)-alkylene-R8, (C=O)-NH-(C₂-C₆)-alkenylene-R9, COO-R8, COO-(C₁-C₆)-alkylene-R8, COO-(C₂-C₆)-alkenylene-R9, alkynylene-R9 or (C₁-C₄-alkyl)-heterocycle;

20

R8, R9 are each independently H, F, Cl, Br, I, OH, CF₃, aryl, heterocycle or (C₃-C₈)-cycloalkyl, wherein said aryl, heterocycle and (C₃-C₈)-cycloalkyl groups are optionally mono-, di-, or tri-substituted by F, Cl, Br, I, OH, CF₃, NO₂, CN, OCF₃, O-(C₁-C₆)-alkyl, (C₁-C₆)-alkyl, NH₂, CON(R11)(R12), N(R13)(R14), SO₂-CH₃, COOH, COO-(C₁-C₆)-alkyl or CONH₂;

25

R2 is NH₂, NO₂, N(R13)(R14), NH-SO₂-CH₃, NH-SO₂-R12, NR11-SO₂-R12, N(CO)R11, NHCONR11, N(C₁-C₆-alkyl)N⁺(C₁-C₄-alkyl)₃ or a nitrogen-

30

containing heterocycle, wherein said heterocycle is bonded via a nitrogen atom,

- 5 R3 is H
- R4, R5 are each independently H, F, Cl, Br, OH, CF₃, OCF₃, O-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl;
- R6 is H;
- 10 and pharmaceutically acceptable salts thereof.

4. The compound of Claim 3 wherein

- 15 A is aryl, wherein said aryl group is optionally substituted by F, Cl, Br, NO₂, CF₃, OCF₃, CN, (C₁-C₆)-alkyl, aryl, CON(R₁₁)(R₁₂), N(R₁₃)(R₁₄), OH, O-(C₁-C₆)-alkyl, S-(C₁-C₆)-alkyl, N(R₁₅)CO(C₁-C₆)-alkyl or COO-(C₁-C₆)-alkyl;

- 20 R₁₁, R₁₂, R₁₃, R₁₄, R₁₅ are each independently H, (C₁-C₆)-alkyl or heterocycle;

m is 1;

- R₁ is (C₁-C₆)-alkyl or (C₁-C₆)-alkylene-R₈;

- 25 R₈, R₉ are each independently F, Cl, Br, I, OH or CF₃;

- R₂ is NH₂, NO₂, CN, N(R₁₃)(R₁₄), NH-SO₂-CH₃, NH-SO₂-R₁₂, NR₁₁-SO₂-R₁₂, N(CO)R₁₁, NHCONR₁₁, N(C₁-C₆-alkyl)N⁺(C₁-C₄-alkyl)₃ or a
- 30 nitrogen-containing heterocycle, wherein said heterocycle is bonded via a nitrogen atom,

R₃ is H;

R4 is F, Cl, Br, OH, CF₃, OCF₃, O-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl;

R5 is H, F, Cl, Br, OH, CF₃, OCF₃, O-(C₁-C₆)-alkyl or (C₁-C₆)-alkyl;

5 R6 is H;

and pharmaceutically acceptable salts thereof.

5. A pharmaceutical composition comprising a compound of Claim 1 and a
10 pharmaceutically acceptable carrier.

6. The pharmaceutical composition of Claim 5 further comprising one or more anorectic active ingredients.

15 7. The pharmaceutical composition of Claim 5 further comprising one or more statins.

8. The pharmaceutical composition of claim 5 further comprising one or more antidiabetics, hypoglycemic active ingredients, HMGCoA reductase inhibitors, cholesterol absorption inhibitors, PPAR gamma agonists, PPAR alpha agonists, PPAR alpha/gamma
20 agonists, fibrates, MTP inhibitors, bile acid adsorption inhibitors, CETP inhibitors, polymeric bile acid adsorbents, LDL receptor inducers, ACAT inhibitors, antioxidants, lipoprotein lipase inhibitors, ATP-citrate lyase inhibitors, squalene synthetase inhibitors, lipoprotein(a) antagonists, lipase inhibitors, insulins, sulfonylureas, biguanides, meglitinides, thiazolidinediones, α -glucosidase inhibitors, active ingredients acting on the
25 ATP-dependent potassium channel of beta cells, CART agonists, NPY agonists, MC4 agonists, orexin agonists, H3 agonists, TNF agonists, CRF agonists, CRF BP-antagonists, urocortin agonists, β 3 agonists, MSH (melanocyte-stimulating hormone) agonists, CCK agonists, serotonin reuptake inhibitors, mixed serotoninergic and noradrenergic compounds, 5HT agonists, bombesin agonists, galanin antagonists, growth hormones, growth hormone-
30 releasing compounds, TRH agonists, uncoupling protein 2 or 3 modulators, leptin agonists,

DA agonists (bromocriptine, Doprexin), lipase/amylase inhibitors, PPAR modulators, RXR modulators or TR- β agonists or amphetamines.

9. A method of treating obesity comprising administering to a patient in need thereof a
5 compound of Claim 1.

10. A method of treating obesity comprising administering to a patient in need thereof a compound of Claim 1 in combination with at least one further anorectic active ingredient.

10 11. A method of treating type II diabetes comprising administering to a patient in need thereof a compound of Claim 1.

12. A method of treating type II diabetes comprising administering to a patient in need thereof a compound of Claim 1 in combination with at least one further anorectic active
15 ingredient.

13. A method of reducing weight in mammals comprising administering to a patient in need thereof a compound of Claim 1.

20 14. A method of treating metabolic syndrome comprising administering to a patient in need thereof a compound of Claim 1.

15. A method of treating female and male sexual disorders comprising administering to a patient in need thereof a compound of Claim 1.

25